Docket No.: [Le A 36411 (303989.69040)]

LISTING OF THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Original) A compound of the formula

in which

A represents a radical

$$\mathbb{R}^{0}$$
 or \mathbb{N}^{0}

in which

X represents N or C-H,

Y represents N-R7, O or S

in which

R⁷ represents hydrogen, benzyl, phenyl, (C₁-C₆)-alkyl or (C₃-C₈)-cycloalkyl,

where alkyl and cycloalkyl for their part may be substituted by fluorine, hydroxyl, amino, carboxyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkylamino or morpholinyl,

- Z represents N or C-H,
- R⁶ represents hydrogen, halogen, trifluoromethyl, (C₁-C₆)-alkylamino or W-R⁷.

in which

- W represents NH, O or a bond,
- R⁷ is as defined above

and

* denotes the point of attachment to the phenolic oxygen,

R1 and R2 independently of one another represent hydrogen, halogen or cyano,

R3 and R4 independently of one another represent hydrogen, fluorine or chlorine,

R⁵ represents a radical selected from the group consisting of:

hydrogen, hydroxyl, halogen, trifluoromethyl,

where cycloalkyl, alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkoxycarbonyl, (C_6-C_{10}) -aryl, NR^8R^9 or $C(=O)NR^8R^9$,

in which

R⁸ and R⁹ independently of one another represent hydrogen, (C₁-C₈)-alkyl, optionally (C₁-C₆)-alkyl-substituted (C₃-C₆)-cycloalkyl, optionally halogen-substituted (C₆-C₁₀)-aryl or 5- to 10-membered heteroaryl

or

R⁸ and R⁹ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkanoyl or (C₁-C₆)-alkoxycarbonyl,

 (C_6-C_{10}) -aryl, (C_6-C_{10}) -aryloxy, 5- to 10-membered heteroaryl, 5- to 10-membered heteroaryloxy, 5- to 10-membered heterocyclyl which is attached via a carbon atom.

where aryl, aryloxy, heteroaryl, heteroaryloxy and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C_1-C_6) -alkyl, (C_1-C_6) -alkylamino, (C_1-C_6) -alkanoyl, (C_1-C_6) -alkoxycarbonyl, (C_1-C_6) -alkoxycarbonylamino or 5- or 6-membered heterocyclyl,

 $NR^{10}R^{11}$

in which

R¹⁰ and R¹¹ independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₃-C₈)-cycloalkyl, (C₆-C₁₀)-aryl or 5- to 10-membered heteroaryl,

where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C₁-C₆)-alkoxy, (C₆-C₁₀)-aryl, 5- to 10-membered heteroaryl or NR¹⁵R¹⁶.

in which

R¹⁵ and R¹⁶ independently of one another represent hydrogen, (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, (C₆-C₁₀)-aryl or 5- or 6membered heteroaryl

or

R¹⁵ and R¹⁶ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkanoyl or (C₁-C₆)-alkoxycarbonyl,

and

aryl and heteroaryl for their part may be substituted by halogen, hydroxyl, amino, cyano, trifluoromethyl, (C₁-C₆)-alkyl, (C₁-C₆)alkoxy, (C₁-C₆)-alkylamino or (C₁-C₆)-alkanoylamino,

or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocyclyl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C₁-C₄)-alkyl or (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy, optionally hydroxyl-, (C₁-C₄)-alkoxycarbonyl alkoxy- or NR¹⁷R¹⁸-substituted (C₁-C₄)-alkyl, (C₁-C₄)-alkanoyl, (C₁-C₄)-alkoxycarbonyl

where

R¹² and R¹³ independently of one another represent hydrogen,

(C₁-C₆)-alkyl, (C₁-C₄)-alkoxycarbonyl, (C₃-C₈)-cycloalkyl

or (C₁-C₄)-alkanoyl

0

 R^{12} and R^{13} together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkanoyl or (C_1-C_6) -alkoxycarbonyl,

and

R¹⁷ and R¹⁸ independently of one another represent hydrogen,
optionally hydroxyl-substituted (C₁-C₆)-alkyl, (C₃-C₆)cvcloalkyl, (C₆-C₁₀)-aryl or 5- or 6-membered heteroaryl

or

R¹⁷ and R¹⁸ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may

contain a further heteroatom O or N in the ring and which may be substituted by (C_1-C_6) -alkyl, (C_1-C_6) -alkoxvoarbonyl.

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or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may be substituted by fluorine, (C₁-C₄)-alkyl, (C₁-C₄)alkoxycarbonyl, (C₁-C₄)-alkanoyl or benzyl,

and C(=O)R14,

in which

R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5- to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring,

where alkylamino for its part may be substituted by a 5- or 6membered heterocycle,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

2. (Original) The compound as claimed in claim 1

in which

A represents a radical

in which

R⁶ represents hydrogen, (C₁-C₄)-alkyl or NH-R⁷,

R⁷ represents hydrogen or (C₁-C₄)-alkyl

and

denotes the point of attachment to the phenolic oxygen,

R1 and R2 independently of one another represent hydrogen, fluorine or chlorine,

R3 and R4 independently of one another represent hydrogen or fluorine,

R⁵ represents a radical selected from the group consisting of:

hydrogen, chlorine, (C3-C8)-cycloalkyl, (C1-C6)-alkyl, (C1-C6)-alkoxy,

where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C_1-C_4) -alkoxy, (C_1-C_4) -alkoxycarbonyl, NR^8R^9 or $C(=O)NR^8R^9$.

in which

R⁸ and R⁹ independently of one another represent hydrogen, (C₁-C₈)-alkyl, optionally (C₁-C₄)-alkyl-substituted (C₂-C₆)-cycloalkyl, optionally halogen-substituted phenyl or 5- or 6-membered heteroaryl

or

R⁸ and R⁹ together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C₁-C₄)-alkyl,

 (C_6-C_{10}) -aryl, 5- or 6-membered heteroaryl, 5- or 6-membered heterocyclyl which is attached via a carbon atom,

where aryl, heteroaryl and heterocyclyl for their part may be substituted by halogen, cyano, nitro, carboxyl, amino, trifluoromethyl, optionally hydroxyl-substituted (C_1-C_4) -alkyl, (C_1-C_4) -alkyoxy, (C_1-C_4) -alkylamino, (C_1-C_4) -alkanoyl, (C_1-C_4) -alkanoyl, (C_1-C_4) -alkanoylamino, (C_1-C_4) -alkanoylamino or 6-membered heterocyclyl,

 $NR^{10}R^{11}$

in which

 R^{10} and R^{11} independently of one another represent hydrogen, (C_1-C_6) alkyl, (C_3-C_8) -cycloalkyl, phenyl or 5- or 6-membered heteroaryl,

where alkyl and cycloalkyl for their part may be substituted by hydroxyl, (C₁-C₄)-alkoxy, phenyl, 5- or 6-membered heteroaryl or NR¹⁵R¹⁶,

in which

R¹⁵ and R¹⁶ independently of one another represent hydrogen, (C₁-C₄)-alkyl, (C₃-C₆)-cycloalkyl, phenyl or 5- or 6-membered heteroaryl

or

R¹⁵ and R¹⁶ together with the nitrogen atom to which they are attached form a morpholine, piperazine, piperidine or pyrrolidine ring, where the rings for their part may be substituted by (C₁-C₄)-alkyl,

and

phenyl and heteroaryl for their part may be substituted by fluorine, chlorine, hydroxyl, amino, cyano, trifluoromethyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkylamino or (C₁-C₄)-alkanoylamino,

or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a 4- to 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by fluorine, hydroxyl, carboxyl, 5- to 7-membered heterocyclyl which may contain one or two further heteroatoms N and/or O in the ring and which for its part may be substituted by (C₁-C₄)-alkyl or (C₁-C₄)-alkoxycarbonyl, (C₁-C₄)-alkoxy, optionally hydroxyl-, (C₁-C₄)-alkoxy- or NR¹⁷R¹⁸-substituted (C₁-C₄)-alkyl, (C₁-C₄)-alkanoyl, (C₁-C₄)-alkoxycarbonyl or NR¹²R¹³,

where

R¹² and R¹³ independently of one another represent hydrogen or (C₁-C₄)-alkyl

or

R¹² and R¹³ together with the nitrogen atom to which they are attached form a 5- or 6-membered heterocycle which may contain a further heteroatom O or N in the ring and which may be substituted by (C₁-C₆)-alkyl, (C₁-C₆)-alkanoyl or (C₁-C₆)-alkoxycarbonyl,

and

R¹⁷ and R¹⁸ independently of one another represent hydrogen, optionally hydroxyl-substituted (C₁-C₄)-alkyl or phenyl

or

R¹⁷ and R¹⁸ together with the nitrogen atom to which they are attached form a pyrrolidine ring,

or

 R^{10} and R^{11} together with the nitrogen atom to which they are attached form a 7- to 12-membered bicyclic or tricyclic heterocycle which is fused or spirocyclic, which may have one or two further heteroatoms from the group consisting of N and O in the ring and which may be substituted by (C_1-C_4) -alkyl, (C_1-C_4) -alkoxycarbonyl, (C_1-C_4) -alkanoyl or benzyl,

and C(=O)R14

in which

R¹⁴ represents (C₁-C₆)-alkoxy, (C₁-C₆)-alkylamino or a 5- to 10-membered mono- or bicyclic heterocycle which is attached via a nitrogen atom, which is fused or spirocyclic and which may have one or two further heteroatoms from the group consisting of N and O in the ring.

where alkylamino for its part may be substituted by a 5- or 6membered heterocyclyl,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

3. (Previously presented) The compound as claimed in claim 1

in which

A represents a radical

in which

R⁶ represents hydrogen or methyl

and

* denotes the point of attachment to the phenolic oxygen,

R1 and R2 independently of one another represent hydrogen, fluorine or chlorine,

R3 and R4 represent hydrogen,

R⁵ represents a radical selected from the group consisting of:

hydrogen, chlorine, cyclohexyl, (C1-C4)-alkyl, (C1-C4)-alkoxy,

where alkyl and alkoxy for their part may be substituted by hydroxyl, carboxyl, (C₁-C₄)-alkoxy, methyloxycarbonyl, ethyloxycarbonyl, NR⁸R⁹ or C(=O)NR⁸R⁹.

in which

R⁸ and R⁹ independently of one another represent hydrogen, (C₁-C₈)-alkyl, cyclopropyl, optionally methyl-substituted cyclopentyl or optionally fluorine-substituted phenyl

or

R⁸ and R⁹ together with the nitrogen atom to which they are attached form a piperidine, 2-methylpiperidine or 2,6dimethylpiperidine ring,

phenyl, pyridyl, pyrrolyl, piperidin-3-yl, piperidin-4-yl, pyrrolidin-2-yl,

where phenyl, pyridyl and pyrrolyl for their part may be substituted by fluorine, chlorine, bromine, cyano, nitro, trifluoromethyl, methyl, hydroxymethyl, methoxy, dimethylamino or morpholinyl,

and

piperidin-3-yl, piperidin-4-yl and pyrrolidin-2-yl for their part may be substituted by methyl, ethyl, n-propyl, isopropyl, methylcarbonyl or ethylcarbonyl,

 $NR^{10}R^{11}$

in which

R¹⁰ and R¹¹ independently of one another represent hydrogen, (C₁-C₄)alkyl, 3-hydroxypropyl, 2-hydroxycyclohexyl, 2-aminocyclohexyl, phenyl, pyridyl or pyrazolyl, where phenyl and pyridyl for their part may be substituted by chlorine, hydroxyl, amino, cyano, methyl or methoxy,

or

R¹⁰ and R¹¹ together with the nitrogen atom to which they are attached form a piperazine, 3-methylpiperazine, 3,5-dimethylpiperazine, 4-isobutylpiperazine, morpholine, pyrrolidine, 3-aminopyrrolidine, 3-methylaminopyrrolidine, 3-(N,N-dimethylamino)pyrrolidine, 2-aminomethylpyrrolidine, 3-hydroxypyrrolidine, 2-hydroxymethylpyrrolidine or 2-methoxymethylpyrrolidine ring or a radical

in which

* denotes the point of attachment to the pyrimidine ring,

and C(=O)R14

in which

 ${
m R}^{14}$ represents methoxy, piperidinyl-N-ethylamino, piperidinyl or piperazinyl,

or a salt, a hydrate, a hydrate of a salt or a solvate thereof.

- (Original) A process for preparing compounds as defined in claim 1, characterized in that either
 - [A] compounds of the formula (II)

$$R^{2}$$
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{3}
 R^{4}
 R^{2}
 R^{3}
 R^{4}
 R^{5}
 R^{5

in which

A, R1, R2, R3 and R4 are as defined in claim 1

are reacted with compounds of the formula (III)

$$R^5$$
— X^1 (III),

in which

R5 is as defined in claim 1 and

X1 represents hydrogen, B(OH)2 or a boronic acid ester such as

or

[B] compounds of the formula (IV)

$$H_2N$$
 N
 R^5
(IV),

in which

R⁵ is as defined in claim 1

are reacted with compounds of the formula (V)

$$A \xrightarrow{R^{7}} R^{4}$$

$$R^{2} \xrightarrow{R^{3}} NH_{2}$$

$$(V),$$

in which

A, R1, R2, R3 and R4 are as defined in claim 1.

- 5. (Canceled)
- 6. (Canceled)
- 7. (Canceled)
- 8. (Canceled)
- (Previously presented) A pharmaceutical composition comprising a compound as defined in claim 1 and a further active compound.
- 10. (Previously presented) A pharmaceutical composition comprising a compound as defined in claim 1 in combination with an inert nontoxic pharmaceutically acceptable auxiliary.
- 11. (Canceled)
- 12. (New) A method for the treatment of erectile dysfunction in a human or animal, comprising administering to said human or animal, a cardiovascularly effective amount of a compound as defined in claim 1.